Tasimelteon

Cat. No.: HY-14803

CAS No.: 609799-22-6

Molecular Formula: $C_{15}H_{19}NO_2$

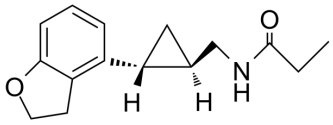
Molecular Weight: 245.32

Target: Melatonin Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 33 mg/mL (134.52 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.0763 mL	20.3815 mL	40.7631 mL
5 mM	0.8153 mL	4.0763 mL	8.1526 mL
10 mM	0.4076 mL	2.0382 mL	4.0763 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (10.19 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.19 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tasimelteon (BMS-214778) is an orally active and selective dual melatonin receptor agonist (DMRA). Tasimelteon has 2.1-4.4 times greater affinity for the MT2 receptor than for the MT1 receptor. Tasimelteon is a circadian regulator and has the potential for Non-24-Hour Sleep-Wake Disorder (Non-24)[1][2].

In Vitro

Tasimelteon (BMS-214778) has 2.1-4.4 times greater affinity for the MT2 receptor believed to mediate circadian rhythm phase-shifting (K_i=0.0692 nM and K_i=0.17 nM in NIH-3T3 and CHO-K1 cells, respectively), than for the MT1 receptor (Ki=0.304

	nM and Ki=0.35 nM, respectively). Tasimelteon has no appreciable affinity for more than 160 other pharmacologically relevant receptors and several enzymes[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Christian Lavedan, et al. Tasimelteon: a selective and unique receptor binding profile. Neuropharmacology. 2015 Apr;91:142-7.

[2]. Keating GM, et al. Tasimelteon: A Review in Non-24-Hour Sleep-Wake Disorder in Totally Blind Individuals. CNS Drugs. 2016 Mar 22.

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